

L4 ANSWER 192 OF 251 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1989:193405 CAPLUS <<LOGINID::20070227>>
 DN 110:193405
 TI Preparation of amino acid amidohydroxyalkylamides and pharmaceuticals
 containing them for the treatment of hypertension and hyperaldosteronism
 IN Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto
 PA Merck Patent G.m.b.H., Fed. Rep. Ger.
 SO Ger. Offen., 17 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3635907	A1	19880428	DE 1986-3635907	19861022
	EP 264795	A2	19880427	EP 1987-114975	19871013
	EP 264795	A3	19900328		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	AU 8779823	A	19880428	AU 1987-79823	19871015
	HU 47596	A2	19890328	HU 1987-4728	19871021
	HU 199875	B	19900328		
	JP 63112548	A	19880517	JP 1987-265548	19871022
	ZA 8707950	A	19880629	ZA 1987-7950	19871022
PRAI	DE 1986-3635907	A	19861022		

OS CASREACT 110:193405; MARPAT 110:193405

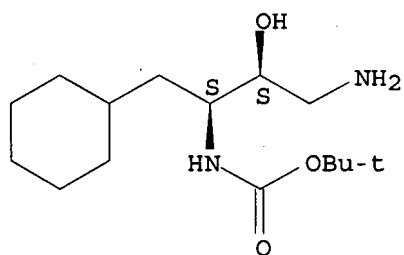
AB Pharmaceuticals contain hydroxy amino acid derivs.
 XZNR2CHR3CHOH(CH2)nNR4EY [I; X = H, R1OCmH2mCO, R1CmH2mO2C, R1CmH2mCO,
 R1SO2, etc.; Z = 1-4 amino acid residues; E = CONH, CSNH, CO2, SO2, SO2NH,
 etc.; Y = R5, CO2R6, CONR7R8, etc.; EY = pyrrolidinocarbonyl,
 piperidinocarbonyl, morpholinocarbonyl, pyrrolidinosulfonyl, etc.; R1, R3,
 R6, R7, R8 = H, alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl,
 cycloalkyl, bicycloalkyl, etc.; R2, R4 = H, alkyl; R5 = H, alkyl, aryl,
 arylalkyl, cycloalkyl, cycloalkylalkyl; m = 0-5; n = 1, 2. I are used for
 the treatment of renin-dependent hypertension and hyperaldosteronism (no
 data). 1-Bromo-3S-BOC-amino-4-cyclohexylbutan-2-one was treated with NaN3
 in DMF at 0° to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2-one;
 the latter was reduced with NaBH4 and the resulting epimers were resolved
 by chromatog. to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2S-ol and
 this was hydrogenated to give 1-amino-3S-BOC-amino-4-cyclohexylbutan-2S-
 ol. The latter was treated with isopentyl isocyanate, the BOC group was
 removed with 4N HCl in dioxane, the product was treated with
 BOC-(imi-DNP-His)OH to give N-isopentyl-N'-[2S-hydroxy-3S-[BOC-(imi-DNP-
 His)amino]-4-cyclohexylbutyl]urea. This was deprotected and solvolyzed to
 give N-isopentyl-N'-[2S-hydroxy-3S-(BOC-Phe-His)amino-4-
 cyclohexylbutyl]urea (I). A solution containing 100 g I and 5 g Na2HPO4 in 3 L
 H2O at pH 6.5 was filled into ampules containing 500 mg I each.

IT 108868-90-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, with isopentyl isocyanate)

RN 108868-90-2 CAPLUS

CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-,
 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 118546-52-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 118546-52-4 CAPLUS

CN Carbamic acid, [3-amino-1-(cyclohexylmethyl)-2-hydroxypropyl]-,
1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

